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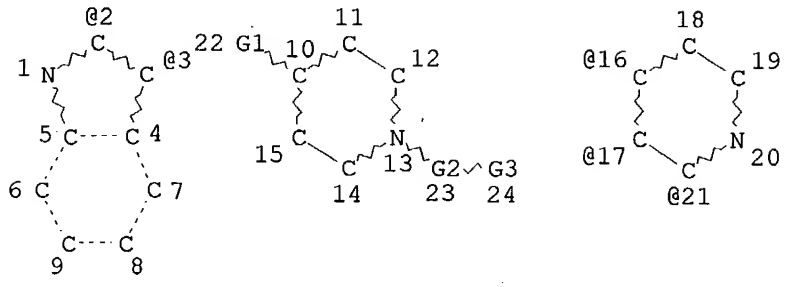

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VAR G2=C/S/AK
VAR G3=16/17/21
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 27363 ITERATIONS 95 ANSWERS
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=> s l3
L4 4 L3

=> d bib abs 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:675742 CAPLUS
DN 141:207058
TI Preparation of piperidine derivatives as muscarinic receptors stimulator for treatment of schizophrenia
IN Ono, Shinichiro; Hamaguchi, Seiji; Horiuchi, Hideki
PA Mitsubishi Pharma Corporation, Japan
SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004069828	A1	20040819	WO 2004-JP1114	20040204

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-26687 A 20030204
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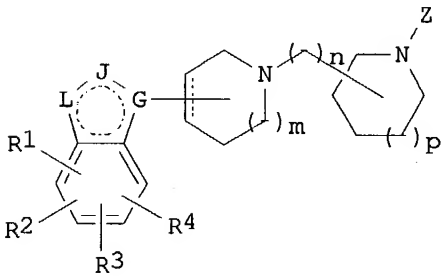

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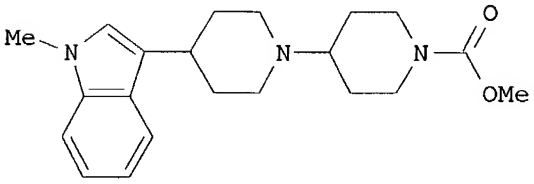

II

AB The title piperidine derivs. with general formula of I [wherein R1-R4 = independently H, halo, alkyl, etc.; G = C or N; J = (un)substituted C or N; L = C, N, O, S, etc.; Z = H, alkylsulfonyl, arylsulfonyl, etc.; m, n, and p = independently 0-2] or pharmaceutically acceptable salts thereof are prepared as muscarinic receptors stimulator for the treatment of

schizophrenia. For example, the compound II•(CO2H)2 was prepared in a multi-step synthesis. II•(CO2H)2 inhibited human muscarinic receptor M4 with Ki of 6.7 nM. Formulations containing I as an active ingredient were also described.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2876 CAPLUS
DN 140:59522
TI Preparation of indole derivatives as histamine H3 antagonists
IN Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.
PA Schering Corporation, USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000831	A1	20031231	WO 2003-US19619	20030620
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004019099	A1	20040129	US 2003-600674	20030620
PRAI	US 2002-390987P	P	20020624		

OS MARPAT 140:59522
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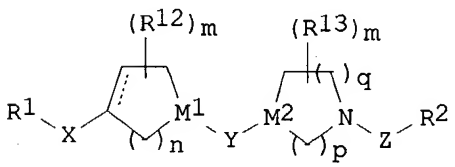

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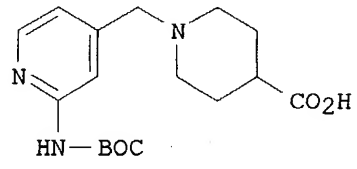
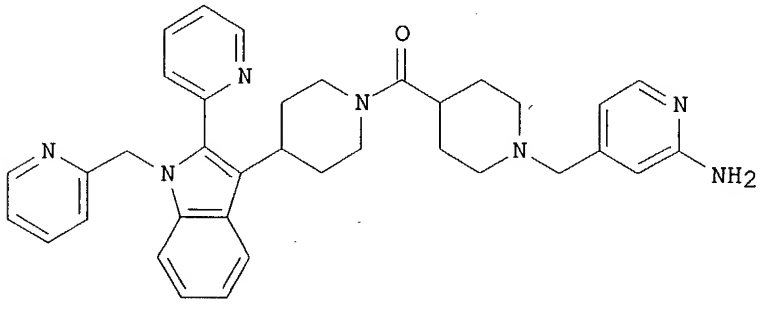


II



III

AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH2,

etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidinyl)-2-(2-pyridinyl)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of inflammatory diseases, allergic conditions and central nervous system disorders (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:777926 CAPLUS
DN 137:294869
TI Preparation of 3-substituted indoles or fused pyrroles as antagonists of the chemokine MCP-1 (CCR2B) receptor
IN Gribble, Andrew Derrick; Forbes, Ian Thomson; Cooper, David Gwyn
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002079190	A1	20021010	WO 2002-EP3572	20020328
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2001-7907	A	20010329		

OS MARPAT 137:294869
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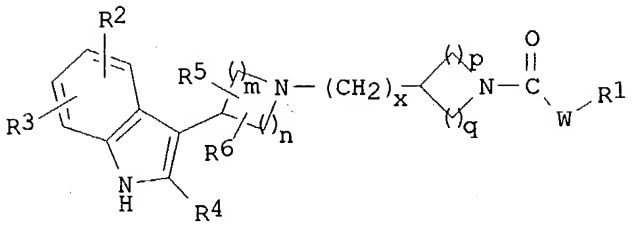

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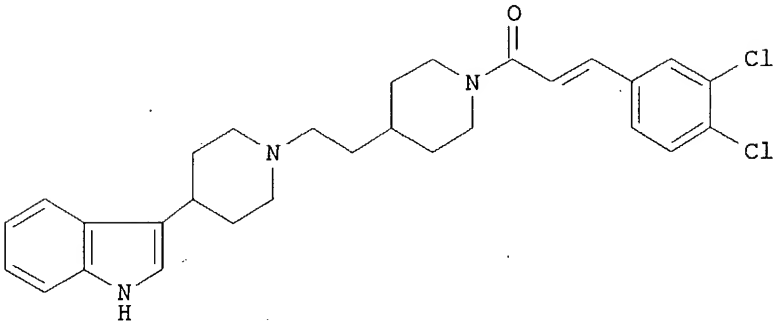

II

AB Title compds. I [R1 = alkyl, aryl, heteroaryl; R2-3 = H , halo, CN, alkyl, cycloalkyl, alkoxy, haloalkyl, hydroxy, amino, etc.; R4 = H, alkyl; R5-6= H, alkyl or together with the carbon atoms of the ring to which they are attached form a bridging 5-7-membered ring; W = bond, alkylene, alkyl, CH2O, CH2S, trans-(E)-CR7=CHY; R7 = H, alkyl; Y = bond, trans-(E)-CH=CH, CO; m, n = 1-3; p, q = 1-2; x = 1-4] were prepared For example N-tert-butoxycarbonylamino-4-(2-bromoethyl)piperidine (preparation given) was used to alkylate 4-(indol-3-yl)piperidine (DMF, NaHCO3, 80°, 18 h), the product deprotected (CH2Cl2, TFA) and the resulting foam coupled to 3,4-dichlorocinnamoyl chloride (CH2Cl2/NaOHaq) to afford II. Selected example compds. had pKb in the range of 5-7.6 for the MCP-1 receptor. I are useful in treating inflammatory conditions with monocyte and/or lymphocyte involvement.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:861674 CAPLUS
DN 134:29433
TI Preparation of sulfonamide compounds with 5-HT7 antagonist activity
IN Lovell, Peter John
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000073299	A1	20001207	WO 2000-EP4893	20000525

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,

ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	EP 1181287	A1	20020227	EP 2000-935141	20000525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003500488	T2	20030107	JP 2000-621365	20000525
	US 2003130275	A1	20030710	US 2002-305450	20021127
PRAI	GB 1999-12701	A	19990601		
	WO 2000-EP4893	W	20000525		
	US 2001-979472	B1	20011114		

OS MARPAT 134:29433

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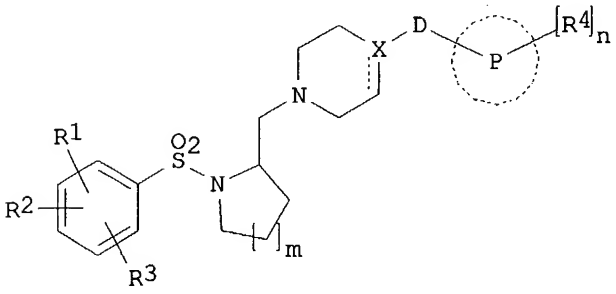

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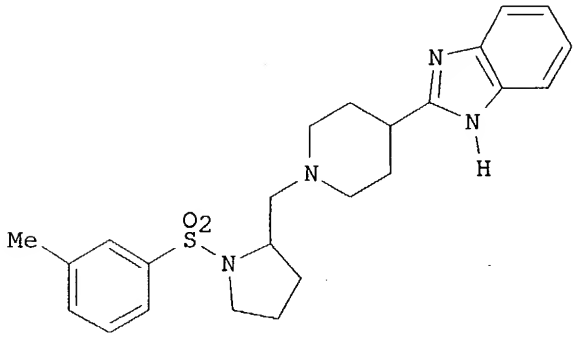

II

AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl containing 1-3 heteroatoms selected from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl, arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7 antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepared E.g., a multi-step synthesis of (R)-II was given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor binding.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT